International Application No. PCT/EP03/00823 International Filing Date: 27 January 2003

Amendments to the claims

This listing of claims will replace all prior versions, and listings, of claims in the application:

Claims

What is claimed is:

1. (Original) A compound of formula (I) or a pharmaceutically acceptable derivative thereof:

wherein:

one of Z^1 , Z^2 , Z^3 , Z^4 and Z^5 is N, one is CR^{1a} and the remainder are CH , or one of Z^1 , Z^2 , Z^3 , Z^4 and Z^5 is CR^{1a} and the remainder are CH;

R¹ and R¹a are independently hydrogen; hydroxy; (C_{1-6}) alkoxy optionally substituted by (C_{1-6}) alkoxy, amino, piperidyl, guanidino or amidino any of which is optionally N-substituted by one or two (C_{1-6}) alkyl, acyl or (C_{1-6}) alkylsulphonyl groups, CONH2, hydroxy, (C_{1-6}) alkylthio, heterocyclylthio, heterocyclyloxy, arylthio, aryloxy, acylthio, acyloxy or (C_{1-6}) alkylsulphonyloxy; (C_{1-6}) alkoxy-substituted (C_{1-6}) alkyl; halogen; (C_{1-6}) alkyl; (C_{1-6}) alkylthio; trifluoromethyl; trifluoromethoxy; nitro; azido; acyl; acyloxy; acylthio; (C_{1-6}) alkylsulphonyl; (C_{1-6}) alkylsulphoxide; arylsulphonyl; arylsulphoxide or an amino, piperidyl, guanidino or amidino group optionally N-substituted by one or two (C_{1-6}) alkyl, acyl or (C_{1-6}) alkylsulphonyl groups;

or when Z^5 is CR^{1a} , R^{1a} may instead be cyano, hydroxymethyl or carboxy;

substituted by (C₁₋₄)alkyl or (C₂₋₄)alkenyl;

or R¹ and R^{1a} on adjacent positions may together form ethylenedioxy;

provided that when none of Z¹, Z², Z³, Z⁴ and Z⁵ is N, then R¹ is not hydrogen;

 R^2 is hydrogen, or (C_{1-4}) alkyl or (C_{2-4}) alkenyl optionally substituted with 1 to 3 groups selected from: amino optionally substituted by one or two (C_{1-4}) alkyl groups; carboxy; (C_{1-4}) alkoxycarbonyl; (C_{1-4}) alkylcarbonyl; (C_{2-4}) alkenyloxycarbonyl; (C_{2-4}) alkenyloxycarbonyl; (C_{2-4}) alkenyloxycarbonyl; aminocarbonyl wherein the amino group is optionally substituted by hydroxy, (C_{1-4}) alkyl, hydroxy($\mathsf{C}_{1-4})$ alkyl, aminocarbonyl($\mathsf{C}_{1-4})$ alkyl, (C_{2-4}) alkenyl, (C_{1-4}) alkylsulphonyl, trifluoromethylsulphonyl, (C_{2-4}) alkenylsulphonyl, (C_{2-4}) alkenyloxycarbonyl or (C_{2-4}) alkenylcarbonyl; cyano; tetrazolyl; 2-oxo-oxazolidinyl optionally substituted by R^{10} ; 3-hydroxy-3-cyclobutene-1,2-dione-4-yl; 2,4-thiazolidinedione-5-yl; tetrazol-5-ylaminocarbonyl; 1,2,4-triazol-5-yl optionally substituted by R^{10} ; 5-oxo-1,2,4-oxadiazol-3-yl; halogen; (C_{1-4}) alkylthio; trifluoromethyl; hydroxy optionally substituted by (C_{1-4}) alkyl, (C_{2-4}) alkenyl, (C_{1-4}) alkoxycarbonyl, (C_{1-4}) alkylcarbonyl, (C_{2-4}) alkenyloxycarbonyl, (C_{1-4}) alkylsulphonyl; (C_{2-4}) alkenylsulphonyl; oxo; (C_{1-4}) alkylsulphonyl; (C_{2-4}) alkenylsulphonyl; oxo; optionally

 ${\sf R}^3$ is in the 2-, 3- or 4-position and is trifluoromethyl or is in the 2-position and is oxo; or

R³ is in the 3-position and is fluorine or amino wherein the amino group is optionally substituted by: hydroxy; (C_{1-6}) alkylsulphonyl; trifluoromethylsulphonyl; (C_{2-6}) alkenylsulphonyl; (C_{1-6}) alkylcarbonyl; (C_{2-6}) alkenylcarbonyl; (C_{1-6}) alkoxycarbonyl; (C_{2-6}) alkenyloxycarbonyl; (C_{1-6}) alkyl; or (C_{2-6}) alkenyl moiety may be optionally substituted with up to 2 groups R¹² independently selected from:

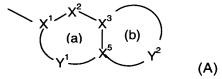
halogen; (C_{1-6})alkylthio; trifluoromethyl; cyano; carboxy; tetrazolyl; 2-oxo-oxazolidinyl; 3-hydroxy-3-cyclobutene-1,2-dione-4-yl; 2,4-thiazolidinedione-5-yl; tetrazol-5-ylaminocarbonyl; 1,2,4-triazol-5-yl optionally substituted by R^{10} ; or 5-oxo-1,2,4-oxadiazol-3-yl; (C_{1-6})alkoxycarbonyl; (C_{1-6})alkylcarbonyl; (C_{2-6})alkenyloxycarbonyl; (C_{2-6})alkenylcarbonyl; hydroxy optionally substituted by (C_{1-6})alkyl, (C_{2-6})alkenyl, (C_{1-6})alkylcarbonyl, (C_{2-6})alkenyl; wherein the amino group is optionally substituted by (C_{1-6})alkyl, (C_{2-6})alkenyl;

amino optionally mono- or disubstituted by (C_{1-6}) alkoxycarbonyl, (C_{1-6}) alkylcarbonyl, (C_{2-6}) alkenyloxycarbonyl, (C_{2-6}) alkenyloxycarbonyl, (C_{2-6}) alkenyloxycarbonyl, (C_{1-6}) alkylsulphonyl, (C_{2-6}) alkenylsulphonyl or aminocarbonyl wherein the amino group is optionally substituted by (C_{1-6}) alkyl or (C_{2-6}) alkenyl; in addition when R^3 is disubstituted with a hydroxy or amino containing substituent and carboxy containing substituent these may together form a cyclic ester or amide linkage, respectively;

R4 is a group -U-R5 where

U is selected from CO, SO2 and CH2 and

R⁵ is an optionally substituted bicyclic carbocyclic or heterocyclic ring system (A):



containing up to four heteroatoms in each ring in which

ring (a) is aromatic and ring (b) is non-aromatic;

X¹ is C or N:

 X^2 is N, NR¹³, O, S(O)_x, CO or CR¹⁴;

X³ and X⁵ are independently N or C;

 Y^1 is a 0 to 4 atom linker group each atom of which is independently selected from N, NR¹³, O, S(O)_x, CO and CR¹⁴;

 Y^2 is a 2 to 6 atom linker group, each atom of Y^2 being independently selected from N, NR¹³, O, S(O)_X, CO, CR¹⁴ and CR¹⁴R¹⁵; each of R¹⁴ and R¹⁵ is independently selected from: H; (C_{1-4}) alkylthio; halo; carboxy(C_{1-4})alkyl; halo(C_{1-4})alkoxy; halo(C_{1-4})alkyl; (C_{1-4})alkyl; (C_{2-4})alkenyl; (C_{1-4})alkoxycarbonyl; formyl; (C_{1-4})alkylcarbonyl; (C_{2-4})alkenyloxycarbonyl; (C_{2-4})alkenyloxycarbonyl; (C_{1-4})alkylcarbonyloxy; (C_{1-4})alkoxycarbonyl(C_{1-4})alkyl; hydroxy; hydroxy(C_{1-4})alkyl; mercapto(C_{1-4})alkyl; (C_{1-4})alkoxy; trifluoromethoxy; nitro; cyano; carboxy; amino or aminocarbonyl optionally substituted as for corresponding substituents in R³; (C_{1-4})alkylsulphonyl; (C_{2-4})alkenylsulphonyl; or aminosulphonyl wherein the amino group is optionally mono- or di-substituted by (C_{1-4})alkyl or (C_{2-4})alkenyl; aryl; aryl(C_{1-4})alkyl; aryl(C_{1-4})alkoxy;

each R¹³ is independently H; trifluoromethyl; (C_{1-4}) alkyl optionally substituted by hydroxy, (C_{1-6}) alkoxy, (C_{1-6}) alkylthio, halo or trifluoromethyl; (C_{2-4}) alkenyl; aryl; aryl (C_{1-4}) alkyl; arylcarbonyl; heteroarylcarbonyl; (C_{1-4}) alkylcarbonyl; formyl; (C_{1-6}) alkylsulphonyl; or

aminocarbonyl wherein the amino group is optionally substituted by (C_{1-4})alkoxycarbonyl, (C_{1-4})alkylcarbonyl, (C_{2-4})alkenyloxycarbonyl, (C_{2-4})alkenylcarbonyl, (C_{1-4})alkyl or (C_{2-4})alkenyl and optionally further substituted by (C_{1-4})alkyl or (C_{2-4})alkenyl;

each x is independently 0, 1 or 2

n is 0 and AB is NR¹¹CO, CO-CR⁸R⁹, CR⁶R⁷-CO, NHR¹¹SO₂, CR⁶R⁷-SO₂ or CR⁶R⁷-CR⁸R⁹, provided that R⁸ and R⁹ are not optionally substituted hydroxy or amino and R⁶ and R⁸ do not represent a bond:

or n is 1 and AB is NR¹¹CO, CO-CR⁸R⁹, CR⁶R⁷-CO, NR¹¹SO₂, CONR¹¹, CR⁶R⁷-CR⁸R⁹, O-CR⁸R⁹ or NR¹¹-CR⁸R⁹;

each of R⁶, R⁷, R⁸ and R⁹ is independently selected from: hydrogen; (C_{1-6}) alkoxy; (C_{1-6}) alkylthio; halo; trifluoromethyl; azido; (C_{1-6}) alkyl; (C_{2-6}) alkenyl; (C_{1-6}) alkoxycarbonyl; (C_{1-6}) alkylcarbonyl; (C_{2-6}) alkenyloxycarbonyl; (C_{2-6}) alkenyloxycarbonyl; hydroxy, amino or aminocarbonyl optionally substituted as for corresponding substituents in R³; (C_{1-6}) alkylsulphonyl; (C_{2-6}) alkenylsulphonyl; or aminosulphonyl wherein the amino group is optionally substituted by (C_{1-6}) alkyl or (C_{2-6}) alkenyl;

or when n=1 R^6 and R^8 together represent a bond and R^7 and R^9 are as above defined;

or R^6 and R^7 or R^8 and R^9 together represent oxo;

R¹⁰ is selected from (C₁₋₄)alkyl; (C₂₋₄)alkenyl and aryl any of which may be optionally substituted by a group R¹² as defined above; carboxy; aminocarbonyl wherein the amino group is optionally substituted by hydroxy, (C₁₋₆)alkyl, (C₂₋₆)alkenyl, (C₁₋₆)alkylsulphonyl, trifluoromethylsulphonyl, (C₂₋₆)alkenylsulphonyl, (C₁₋₆)alkoxycarbonyl, (C₁₋₆)alkylcarbonyl, (C₂₋₆)alkenyloxycarbonyl or (C₂₋₆)alkenylcarbonyl and optionally further substituted by (C₁₋₆)alkyl or (C₂₋₆)alkenyl; and

R¹¹ is hydrogen; trifluoromethyl, (C_{1-6}) alkyl; (C_{2-6}) alkenyl; (C_{1-6}) alkoxycarbonyl; (C_{1-6}) alkylcarbonyl; or aminocarbonyl wherein the amino group is optionally substituted by (C_{1-6}) alkoxycarbonyl, (C_{1-6}) alkylcarbonyl, (C_{2-6}) alkenylcarbonyl, (C_{2-6}) alkenylcarbonyl, (C_{1-6}) alkyl or (C_{2-6}) alkenyl and optionally further substituted by (C_{1-6}) alkyl or (C_{2-6}) alkenyl;

or where one of R³ and R⁶, R⁷, R⁸ or R⁹ contains a carboxy group and the other contains a hydroxy or amino group they may together form a cyclic ester or amide linkage.

- 2. (Original) A compound according to claim 1 wherein Z^5 is CH, C-Cl or N, Z^3 is CH or CF and Z^1 , Z^2 and Z^4 are each CH, or Z^1 is N, Z^3 is CH and Z^2 and Z^4 are each CH and Z^5 is CH or C-Cl.
- 3. (Currently Amended) A compound according to any preceding claim claim 1 wherein R^1 is methoxy and R^{1a} is H or when Z^3 is CR^{1a} it may be C-F or when Z^5 is CR^{1a} it may be C-F or C-CI.
- 4. (Currently Amended) A compound according to any preceding claim claim 1 wherein R² is hydrogen, carboxymethyl, hydroxyethyl, aminocarbonylmethyl, ethoxycarbonylmethyl, or carboxyallyl.
- 5. (Currently Amended) A compound according to any preceding claim claim 1 wherein R^3 is CF_3 , fluoro, oxo or amino unsubstituted or substituted by (C_{1-6}) alkyl or (C_{2-6}) alkenyl.
- 6. (Currently Amended) A compound according to any preceding claim claim 1 wherein n is 0 and either A is CH₂ or CHOH and B is CH₂ or A is NH and B is CO.
- 7. (Currently Amended) A compound according to any preceding claim <u>claim 1</u> wherein –U- is –CH₂-.
- 8. (Currently Amended) A compound according to any preceding claim claim 1 wherein in the heterocyclic ring (A) ring (a) is selected from optionally substituted benzo and pyrido and Y^2 has 3-5 atoms including a heteroatom bonded to X^5 selected from NR¹³, O or S, where R¹³ is other than hydrogen, and NHCO bonded via N to X^3 , or O or NH bonded to X^3 .
- 9. (Currently Amended) A compound according to any one of claims 1 to 6 claim 1 wherein R⁵ is selected from:

4H-benzo[1,4] oxazin-3-one-6-yl 4H-benzo[1,4] thiazin-3-one-6-yl

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2,3-dihydro-[1,4]dioxino[2,3-c]pyridin-7-yl
3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl
3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]oxazin-6-yl
7-chloro-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]oxazin-6-yl
7-chloro-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl.
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10. (Original) A compound according to claim 1 selected from: 6-({2S,4S)-1-[(R)-2-Hydroxy-2-(6-methoxy-[1,5]naphthyridin-4-yl)ethyl]-2-(trifluoromethyl)piperidin-4-ylamino}methyl)-4H-benzo[1,4]thiazin-3-one; 6-({(3R,4S)-1-[(R)-2-Hydroxy-2-(6-methoxy-[1,5]naphthyridin-4-yl)ethyl]-3-(trifluoromethyl)piperidin-4-ylamino}methyl)-4H-benzo[1,4]thiazin-3-one; 6-({1-[(R)-2-Hydroxy-2-(6-methoxy-[1,5]naphthyridin-4-yl)ethyl]-4-(trifluoromethyl)piperidin-4-ylamino}methyl)-4H-benzo[1,4]thiazin-3-one; 6-({1-[(R)-2-Hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]-2-oxopiperidin-4ylamino\methyl)-4H-benzo[1,4]thiazin-3-one; 6-[({(3S,4R)-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4ylamino}methyl)-4H-benzo[1,4]thiazin-3-one and 6-[({(3R,4S)-3-fluoro-1-[(R)-2hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4-ylamino}methyl)-4Hbenzo[1,4]thiazin-3-one; 6-({cis-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4ylamino}methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one Diastereoisomer 1; 6-({cis-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]-piperidin-4ylamino}-methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one Diastereoisomer 2; 7-Chloro-6-({cis 3-fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4ylamino\methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one Diastereoisomer 1; 7-Chloro-6-({cis-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4ylamino\methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one Diastereoisomer 2; 6-({cis-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4ylamino\methyl)-4H-pyrido[3,2-b][1,4]oxazin-3-one Diastereoisomer 1; 6-({cis-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4ylamino\methyl)-4H-pyrido[3,2-b][1,4]oxazin-3-one Diastereoisomer 2; 7-Chloro-6-[({(3S,4R)-3-fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-ylamino}methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one and 7-chloro-6-[({(3R,4S)-3-fluoro-1-{(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4ylamino\methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one; 7-Fluoro-6-({(3S,4R)-3-fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-ylamino}methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one and 7-fluoro-6-

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[(((3R,4S)-3-fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4-
ylamino\methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one;
7-({(3S,4R)-3-fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4-
ylamino]methyl]-1H-pyrido[2,3-b][1,4]thiazin-2-one and 7-(\{(3R,4S)-3-fluoro-1-[(R)-2-
hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4-ylamino}methyl)-1 H-pyrido[2,3-
b][1,4]thiazin-2-one;
7-Chloro-6-[({(3S,4R)-3-fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-
ethyl]piperidin-4-ylamino}methyl)-4H-pyrido[3,2-b][1,4]oxazin-3-one and 7-chloro-6-
[({(3R,4S)-3-fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4-
ylamino\methyl)-4H-pyrido[3,2-b][1,4]oxazin-3-one;
6-[({(3S,4S)-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4-
ylamino\}methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one and 6-[(\{(3R,4R)-3-fluoro-1-f(R)-fluoro-1-f(R)-fluoro-1-f(R)-fluoro-1-f(R)-fluoro-1-f(R)-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-fluoro-1-f
2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4-ylamino}methyl)-4H-
pyrido[3,2-b][1,4]thiazin-3-one;
6-[({(3S,4S)-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4-
ylamino}methyl)-4H-pyrido[3,2-b][1,4]oxazin-3-one and 6-[({(3R,4R)-3-fluoro-1-[(R)-
2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4-ylamino}methyl)-4H-
pyrido[3,2-b][1,4]oxazin-3-one;
7-Fluoro-6-[({(3S,4S)-3-fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-
ethyl]piperidin-4-ylamino}methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one and 7-Fluoro-6-
[({(3R,4R)-3-fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4-
ylamino}methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one;
6-[({(3S,4S)-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4-
ylamino\}methyl\}-1 H-pyrido\{2,3-b\}[1,4\}thiazin-3-one and 6-\{(\{(3R,4R),-3-Fluoro-1-\{(R),-2\}\}\}\}
2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4-ylamino}methyl)-1 H-
pyrido[2,3-b][1,4]thiazin-3-one;
6-({cis-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxy-[1,5]naphthyridin)-ethyl]piperidin-4-
ylamino}methyl)-4H-pyrido[3,2-b][1,4]oxazin-3-one Diastereoisomer 1;
6-({cis-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxy-[1,5]naphthyridin)-ethyl]piperidin-4-
ylamino}methyl)-4H-pyrido[3,2-b][1,4]oxazin-3-one Diastereoisomer 2;
6-({cis-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxy-[1,5]naphthyridin)-ethyl]piperidin-4-
ylamino}methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one Diastereoisomer 1;
6-({cis-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxy-[1,5]naphthyridin)-ethyl]piperidin-4-
ylamino}methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one Diastereoisomer 2;
7-Chloro-6-({cis-3-fluoro-1-[(R)-2-hydroxy-2-(6-methoxy-[1,5]naphthyridin)-
ethyl]piperidin-4-ylamino}methyl)-4H-pyrido[3,2-b][1,4]oxazin-3-one Diastereoisomer
1;
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7-Chloro-6-({cis-3-fluoro-1-[(R)-2-hydroxy-2-(6-methoxy-[1,5]naphthyridin)-
ethyl]piperidin-4-ylamino}methyl)-4H-pyrido[3,2-b][1,4]oxazin-3-one Diastereoisomer
2;
6-({cis-3-Fluoro-1-[(R)-2-hydroxy-2-(8-fluoro-6-methoxy-quinolin-4-yl)-ethyl]piperidin-4-
ylamino}methyl)-4H-pyrido[3,2-b][1,4]oxazin-3-one Diastereoisomer 1;
6-({cis-3-Fluoro-1-[(R)-2-hydroxy-2-(8-fluoro-6-methoxy-quinolin-4-yl)-ethyl]piperidin-4-
ylamino}methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one Diastereoisomer 1;
6-({(3R,4S)-1-[2-(3-Chloro-6-methoxy-quinolin-4-yl)-ethyl]-3-fluoro-piperidin-4-
ylamino}methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one and 6-({(3S,4R)-1-[2-(3-Chloro-6-
methoxy-quinolin-4-yl)-ethyl]-3-fluoro-piperidin-4-ylamino}methyl)-4H-pyrido[3,2-
b][1,4]thiazin-3-one;
6-({(3R,4S)-3-Fluoro-1-[2-(6-methoxy-[1,5]naphthyridin-4-yl)-ethyl]-piperidin-4-
ylamino}-methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one and 6-({(3S,4R)-3-fluoro-1-[2-(6-
methoxy-[1,5]naphthyridin-4-yl)-ethyl]-piperidin-4-ylamino}-methyl)-4H-pyrido[3,2-
b][1,4]thiazin-3-one;
6-[({(3S,4R)-3-Fluoro-1-[(S)-2-hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4-
ylamino}methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one and 6-[({(3R,4S)-3-fluoro-1-[(S)-2-
hydroxy-2-(6-methoxyquinolin-4-yl)-ethyl]piperidin-4-ylamino}methyl)-4H-pyrido[3,2-
b][1,4]thiazin-3-one;
6-({(3R,4S)-1-[2-(2,3-Dihydro-[1,4]dioxino[2,3-f]quinolin-10-yl)-ethyl]-3-fluoro-
piperidin-4-ylamino}-methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one and 6-({(3S,4R)-1-[2-
(2,3-dihydro-[1,4]dioxino[2,3-f]quinolin-10-yl)-ethyl]-3-fluoro-piperidin-4-ylamino}-
methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one;
6-({(3R,4S)-1-[2-(6,8-Difluoro-quinolin-4-yl)-ethyl]-3-fluoro-piperidin-4-ylamino}-
methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one and 6-({(3S,4R)-1-[2-(6,8-difluoro-quinolin-
4-yl)-ethyl]-3-fluoro-piperidin-4-ylamino}-methyl)-4H-pyrido[3,2-b][1,4]thiazin-3-one;
6-[({(3S,4R)-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxy-[1,5]naphthyridin-4-yl)-
ethyl]piperidin-4-ylamino}methyl)-4H-benzo[1,4]thiazin-3-one and 6-[({(3R,4S)-3-
Fluoro-1-[(R)-2-hydroxy-2-(6-methoxy-[1,5]naphthyridin-4-yl)-ethyl]piperidin-4-
ylamino\methyl)-4H-benzo[1,4]thiazin-3-one;
6-[({cis-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxy-[1,5]naphthyridin-4-yl)-
ethyl]piperidin-4-ylamino}methyl)-4H-benzo[1,4]thiazin-3-one Faster running
Diastereoisomer;
6-[({(cis-3-Fluoro-1-[(R)-2-hydroxy-2-(6-methoxy-[1,5]naphthyridin-4-yl)-
ethyl]piperidin-4-ylamino}methyl)-4H-benzo[1,4]thiazin-3-one Slower-running
Diastereoisomer;
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 $6-(\{2S,4S\}-1-[(R)-2-hydroxy-2-(6-methoxy-[1,5]naphthyridin-4-yl)ethyl]-2-(trifluoromethyl)piperidin-4-ylamino}methyl)-4H-pyrido[1,4]thiazin-3-one; <math>6-(\{2S,4R\}-1-[(R)-2-hydroxy-2-(6-methoxy-[1,5]naphthyridin-4-yl)ethyl]-2-(trifluoromethyl)piperidin-4-ylamino}methyl)-4H-pyrido[1,4]thiazin-3-one; or a pharmaceutically acceptable derivative thereof.$

11. (Original) A method of treatment of bacterial infections in mammals, particularly in man, which method comprises the administration to a mammal in need of such treatment an effective amount of a compound according to claim 1.

Claims 12 and 13 (Cancelled).

14. A pharmaceutical composition comprising a compound according to claim 1, and a pharmaceutically acceptable carrier.

Claims 15 and 16 (Cancelled).

17. (Original) A process for preparing a compound of formula (I) according to claim 1, or a pharmaceutically acceptable derivative thereof, which process comprises reacting a compound of formula (IV) with a compound of formula (V):

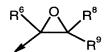
wherein n is as defined in formula (I); $Z^{1'}$, $Z^{2'}$, $Z^{3'}$, $Z^{4'}$, $Z^{5'}$, $R^{1'}$, and $R^{3'}$ are Z^{1} , Z^{2} , Z^{3} , Z^{4} , Z^{5} , R^{1} , and R^{3} as defined in formula (I) or groups convertible thereto; Q^{1} is $NR^{2'}R^{4'}$ or a group convertible thereto wherein $R^{2'}$ and $R^{4'}$ are R^{2} and R^{4} as defined in formula (I) or groups convertible thereto and Q^{2} is H or $R^{3'}$ or Q^{1} and Q^{2} together form an optionally protected oxo group;

- (i) X is A'-COW, Y is H and n is 0;
- (ii) X is $CR^6=CR^8R^9$, Y is H and n is 0;
- (iii) X is oxirane, Y is H and n is 0;
- (iv) X is N=C=O and Y is H and n is 0;

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- (v) one of X and Y is CO₂R^y and the other is CH₂CO₂R^x;
- (vi) X is CHR^6R^7 and Y is $C(=0)R^9$;
- (vii) X is $CR^7 = PR^2_3$ and Y is $C(=0)R^9$ and n=1;
- (viii) X is $C(=0)R^7$ and Y is $CR^9=PR^2_3$ and n=1;
- (ix) Y is COW and X is NHR^{11'} or NR11'COW and n=0 or 1 or when n=1 X is COW and Y is NHR^{11'} or NR11'COW;
- (x) X is NHR^{11} and Y is $C(=0)R^8$ and n=1;
- (xi) X is NHR^{11} and Y is CR^8R^9W and n=1;
- (xii) X is NR¹¹'COCH₂W or NR¹¹'SO₂CH₂W and Y is H and n=0;
- (xiii) X is $CR^6R^7SO_2W$ and Y is H and n=0;
- (xiv) X is W or OH and Y is CH2OH and n is 1;
- (xv) X is NHR^{11'} and Y is SO₂W or X is NR^{11'}SO₂W and Y is H, and n is 0;
- (xvi) X is W and Y is CONHR¹¹;

in which W is a leaving group, e.g. halo or imidazolyl; R^X and R^Y are (C_{1-6}) alkyl; R^Z is aryl or (C_{1-6}) alkyl; A' and NR^{11} are A and NR^{11} as defined in formula (I), or groups convertible thereto; and oxirane is:



wherein R⁶, R⁸ and R⁹ are as defined in formula (I); and thereafter optionally or as necessary converting Q¹ and Q² to NR²'R⁴'; converting A', Z¹', Z²', Z³', Z⁴', Z⁵', R¹', R²', R³', R⁴' and NR¹¹'; to A, Z¹, Z², Z³, Z⁴, Z⁵, R¹, R², R³, R⁴ and NR¹¹; converting A-B to other A-B, interconverting R¹, R², R³ and/or R⁴, and/or forming a pharmaceutically acceptable derivative thereof.